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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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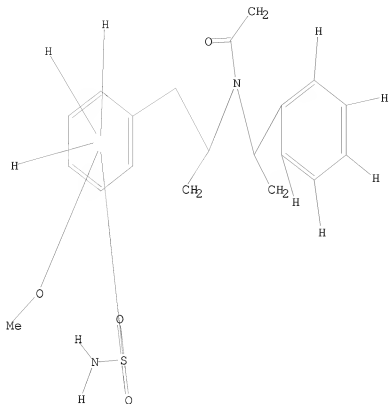
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ENTRY	SESSION
185.88	188.30

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FILE COVERS 1907 - 13 Apr 2009 VOL 150 ISS 16
FILE LAST UPDATED: 12 Apr 2009 (20090412/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 2 L3

=> d l4 fbib ab hitstr 1,2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1260863 CAPLUS
DN 149:533924
TI Process for preparation of Tamsulosin
IN Wang, Yuan; He, Xungui; Wu, Jiancai; Chu, Yunbo; Wang, Gang; Zhang, Zhongming; You, Qidong
PA 2Y-Chem, Ltd., Peop. Rep. China
SO Faming Zhuanli Shengqing Gongkai Shuomingshu, 13pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 101284807	A	20081015	CN 2008-10043462 CN 2008-10043462	20080611 20080611
OS	CASREACT 149:533924				
AB	This invention provides a process for the preparation of Tamsulosin. For				

example, p-methoxyphenylacetone was reacted with (R)-phenylethylamine to obtain (αR)-4-methoxy-α-methyl-N-[(1R)-1-phenylethyl]-benzeneethanamine hydrochloride, followed by acylation with chloroacetyl chloride, chlorosulfonation with chlorosulfonic acid, amination with ammonia aqueous solution, reaction with 2-ethoxyphenol, reduction with NaBH₄,

and

debenzylation by hydrogenation to give Tamsulosin hydrochloride. The process has the advantages of low cost, wide sources of raw materials, and high product purity.

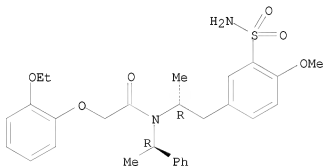
IT 1076239-50-3P 1076239-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Tamsulosin)

RN 1076239-50-3 CAPLUS

CN Acetamide, N-[(1R)-2-[3-(aminosulfonyl)-4-methoxyphenyl]-1-methylethyl]-2-(2-ethoxyphenoxy)-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

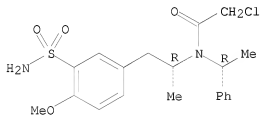
Absolute stereochemistry.



RN 1076239-63-8 CAPLUS

CN Acetamide, N-[(1R)-2-[3-(aminosulfonyl)-4-methoxyphenyl]-1-methylethyl]-2-chloro-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:811734 CAPLUS

DN 143:211719

TI A process for preparation of (R)-(-)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide as an intermediate in the synthesis of tamsulosin

IN Hajicek, Josef; Slavikova, Marketa

PA Zentiva, A. S., Czech Rep.

SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005075415	A1	20050818	WO 2005-CZ10	20050203
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CZ 295583	B6	20050817	CZ 2004-197	A 20040205
	CA 2554851	A1	20050818	CA 2005-2554851	20050203
				CZ 2004-197	A 20040205
				WO 2005-CZ10	W 20050203
EP 1996544	A1	20081203	EP 2005-700507		20050203
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, YU			
				CZ 2004-197	A 20040205
				WO 2005-CZ10	W 20050203
US 20080319225	A1	20081225	US 2007-588515		20070111
				CZ 2004-197	A 20040205
				WO 2005-CZ10	W 20050203

OS CASREACT 143:211719

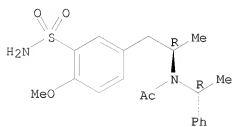
AB The invention relates to a process for the preparation of (R)-(-)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide (I) and its use for the preparation of tamsulosin (II). Tamsulosin is a selective inhibitor of α_1 adrenergic receptors, which allows its use for treating problems with retention of urine in connection with hyperplastic prostate without affecting blood pressure or heart action. The process allows for the preparation of tamsulosin in 6 steps in an overall yield of 19%, as illustrated below. Condensation of 4-methoxybenzyl Me ketone with (R)- α -methylbenzylamine and hydrogenation gave a single enantiomer of compound III. Release of the free base of III followed by N-acetylation and a one-pot chlorosulfonylation and sulfamidation with ammonia in dichloromethane resulted in the formation of IV. Palladium-catalyzed hydrogenation of IV and acid-catalyzed deacetylation then gave amine I, which was converted to tamsulosin (II) by substitution of 2-(2-ethoxyphenoxy)ethyl bromide. The process of the invention gives considerably higher overall yields of I (38.4%) and II (19.2%) than prior processes (12.4% and 4.6%, resp.).

IT 862307-18-4P, N-[(1R)-2-[3-(Aminosulfonyl)-4-methoxyphenyl]-1-methylethyl]-N-[(1R)-1-phenylethyl]acetamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; process for the stereoselective preparation of (aminopropyl)methoxybenzenesulfonamide as an intermediate in the preparation of tamsulosin)

RN 862307-18-4 CAPLUS

CN Acetamide, N-[(1R)-2-[3-(aminosulfonyl)-4-methoxyphenyl]-1-methylethyl]-N-
[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
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